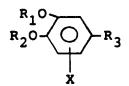
99

Abstract

Pharmacologically active catechol derivatives of formula I



I

wherein R<sub>1</sub> and R<sub>2</sub> independently comprise hydrogen, alkyl, optionally substituted acyl, optionally substituted aroyl, lower alkylsulfonyl or alkylcarbamoyl or taken together form a lower alkylidene or cycloalkylidene group, X comprises electronegative substituent such as halogen, nitro, cyano, lower alkylsulfonyl, sulfonamido, trifluoromethyl, aldehyde or carboxyl and R<sub>3</sub> comprises hydrogen, halogen, substituted alkyl, hydroxyalkyl nitro, cyano, optionally substituted amino, trifluoromethyl, lower alkylsulfonyl, sulfonamide, aldehyde, alkylcarbonyl, aralkylidenecarbonyl or carboxyl group or a group selected from

$$R_{14}$$
-CH=C-R<sub>5</sub>, or -CH<sub>2</sub>-CH-R<sub>5</sub>

wherein  $R_4$  comprises hydrogen, alkyl, amino, cyano, carboxyl or acyl and  $R_5$  comprises hydrogen, amino, cyano, carboxyl, alkoxycarbonyl, carboxyalkenyl, nitro, acyl, hydroxyalkyl, carboxyalkyl, COZ, wherein Z is an optionally substituted heterocyclic ring or one of following optionally substituted groups; carboxamido, carbamoyl, aroyl or heteroaryl or  $R_4$  and  $R_5$  together form a five to seven membered substituted cycloalkanone/ring;

$$-(CO)_n(CH_2)_m$$
-COR

wherein n  $\hat{A}$ s 0-1, m is 0-7 and R comprises alkyl, hydroxy,



carboxyalkyl, optionally substituted alkene, optionally substituted heterocyclic ring, alkoxy or substituted amino;

-con R<sub>8</sub>

wherein R<sub>8</sub> and R<sub>9</sub> independently comprise hydrogen or one of the following optionally substituted groups; alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl or taken together form an optionally substituted piperidyl group;

-NH-CO-R<sub>10</sub>

wherein  $\mathbf{R}_{10}$  comprises a substituted alkyl group.